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## PATENT COOPERATION TREATY

## PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

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Applicant's or agent's file reference PCA31171/CGI	FOR FURTHER ACTION		See Form PCT/IPEA/416
International application No. <b>PCT/KR2004/000097</b>	International filing date(day/month/year) <b>20 JANUARY 2004 (20.01.2004)</b>	Priority date (day/month/year) <b>23 JANUARY 2003 (23.01.2003)</b>	
International Patent Classification (IPC) or national classification and IPC <b>IPC7 C07D 235/18</b>			
Applicant <b>CRYSTALGENOMICS, INC. et al</b>			

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 3 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
  - a. ☐ (sent to the applicant and to the International Bureau) a total of \_\_\_\_\_ sheets, as follows:
    - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
    - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
  - b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) \_\_\_\_\_ containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:
  - ☒ Box No. I Basis of the report
  - ☐ Box No. II Priority
  - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
  - ☐ Box No. IV Lack of unity of invention
  - ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
  - ☐ Box No. VI Certain documents cited
  - ☐ Box No. VII Certain defects in the international application
  - ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand <b>22 JULY 2004 (22.07.2004)</b>	Date of completion of this report <b>25 OCTOBER 2004 (25.10.2004)</b>
Name and mailing address of the IPEA/KR  Korean Intellectual Property Office 920 Dunsan-dong, Seo-gu, Daejeon 302-701, Republic of Korea Facsimile No. 82-42-472-7140	Authorized officer <b>LIM, Hea Joon</b>  Telephone No. 82-42-481-5600

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/KR2004/000097

## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☒ This report is based on translations from the original language into the following language English which is the language of a translation furnished for the purposes of:
    - ☐ international search (under Rules 12.3 and 23.1(b))
    - ☒ publication of the international application (under Rule 12.4)
    - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
  - ☒ the international application as originally filed/furnished
  - ☐ the description:
    - pages \_\_\_\_\_
    - pages\* \_\_\_\_\_
    - pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_ as originally filed/furnished
    - \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ the claims:
    - pages \_\_\_\_\_
    - pages\* \_\_\_\_\_
    - pages\* \_\_\_\_\_ as amended (together with any statement) under Article 19
    - pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ the drawings:
    - pages \_\_\_\_\_
    - pages\* \_\_\_\_\_
    - pages\* \_\_\_\_\_ as originally filed/furnished
    - \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
  - ☐ the description, pages \_\_\_\_\_
  - ☐ the claims, Nos. \_\_\_\_\_
  - ☐ the drawings, sheet \_\_\_\_\_
  - ☐ the sequence listing (specify): \_\_\_\_\_
  - ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
  - ☐ the description, pages \_\_\_\_\_
  - ☐ the claims, Nos. \_\_\_\_\_
  - ☐ the drawings, \_\_\_\_\_
  - ☐ the sequence listing (specify): \_\_\_\_\_
  - ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/KR2004/000097

**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

## 1. Statement

Novelty (N)

Claims 1-3, 4-5, 6

YES

Claims

NO

Inventive step (IS)

Claims 1-3, 4-5, 6

YES

Claims

NO

Industrial applicability (IA)

Claims 1-3, 4-5, 6

YES

Claims

NO

## 2. Citations and explanations (Rule 70.7)

1) The following document have been considered for the purpose of this report:

D1= WO 95/07263 A 1995 (Schering Aktiengesellschaft)

D2= US 5,821,258 A 1998 (Mitsui Chemicals, Inc)

D3= US 6,310,082 A 2001 (Newcastle University Ventures Limited)

D1 discloses 1,2-di(hetero)arylbenzimidazole derivatives, their preparation and their use as prostacyclin(PG12)mimetics.

D2 discloses phenylbenzimidazole derivatives valuable as a anticancer agent.

D3 discloses benzimidazole-4-carboxamide compounds which can act as potent inhibitors of the DNA repair enzyme.

### 2) Novelty

Claims 1-3, 4-5, 6 relate to a new benzimidazole derivative possessing valuable inhibiting activity of glycogen synthase kinase 3beta.. With regard to the requirements for novelty, the essential structural difference between the subject-matter claimed and that of D1 to D3 resides in the fact that the present compounds are substituted with carboxyl amide at the position of 4 in benzimidazole ring and substituted benzene ring structures at the position of 2, whereas the compounds already described are either of unsubstituted carboxyl amide at the position of 4 (in D3) derivatives or substituted carboxyl amide at the position of 5 (in D2). The whole subject matter claimed thus is considered novel.

### 3) Inventive Step

Claims 1-3, 4-5, 6 relate to a new benzimidazole derivatives, glycogen synthase kinase 3 beta inhibitor. In addition to the major structural difference among this invention and prior arts, the stated problem, providing glycogen synthase kinase 3 beta inhibitor has indeed been solved as shown in the data about inhibiting glycogen synthase kinase 3 beta, whose activity relieves the symptom of diabetes, Alzheimer, and obesity. None of the benzimidazole derivatives disclosed in the prior arts suggest the inhibition activity of glycogen synthase kinase 3 beta.

Therefore, the subject-matter of claim 1-3, 4-5, 6 is considered to involve an inventive step.

### 4) Industrial applicability

The subject matter of claim 1-3, 4-5, 6 is considered to be industrially applicable.